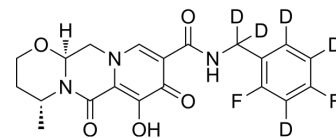


Dolutegravir-d₅

Cat. No.:	HY-13238S2
CAS No.:	2249814-82-0
Molecular Formula:	C ₂₀ H ₁₄ D ₅ F ₂ N ₃ O ₅
Molecular Weight:	424.41
Target:	HIV Integrase; HIV; Isotope-Labeled Compounds
Pathway:	Metabolic Enzyme/Protease; Anti-infection; Others
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Dolutegravir-d ₅ is deuterium labeled Dolutegravir. Dolutegravir (S/GSK1349572) is a highly potent and orally bioavailable HIV integrase strand transfer inhibitor with an IC ₅₀ of 2.7 nM for HIV-1 integrase-catalyzed strand transfer. Dolutegravir (S/GSK1349572) inhibits HIV-1 viral replication with an IC ₅₀ of 0.51 nM in peripheral blood mononuclear cells. Dolutegravir retains a high potency against the HIV-1 Y143R, N155H, and G140S/Q148H mutants (EC ₅₀ =3.6-5.8 nM)[1][2].
In Vitro	Stable heavy isotopes of hydrogen, carbon, and other elements have been incorporated into drug molecules, largely as tracers for quantitation during the drug development process. Deuteration has gained attention because of its potential to affect the pharmacokinetic and metabolic profiles of drugs ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

- [1]. Russak EM, et al. Impact of Deuterium Substitution on the Pharmacokinetics of Pharmaceuticals. *Ann Pharmacother.* 2019;53(2):211-216.
- [2]. Hare S, et al. Structural and functional analyses of the second-generation integrase strand transfer inhibitor dolutegravir (S/GSK1349572). *Mol Pharmacol.* 2011 Oct;80(4):565-72.
- [3]. Kobayashi M, et al. In Vitro antiretroviral properties of S/GSK1349572, a next-generation HIV integrase inhibitor. *Antimicrob Agents Chemother.* 2011 Feb;55(2):813-21.
- [4]. Moss L, et al. The comparative disposition and metabolism of dolutegravir, a potent HIV-1 integrase inhibitor, in mice, rats, and monkeys. *Xenobiotica.* 2015 Jan;45(1):60-70.

Caution: Product has not been fully validated for medical applications. For research use only.

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